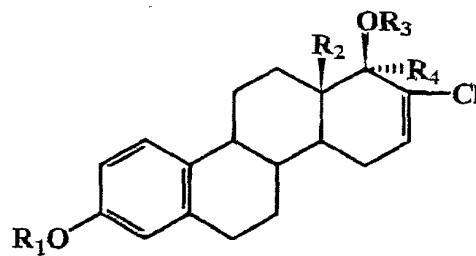


Claims

1. Use of ER β -selective ligands for production of medicaments for regulating fertility with or without additional use of follicular sex steroids.
2. Use of ER β -selective agonists according to claim 1 for treatment of female infertility.
3. Use according to claim 2 to support IVF (in vitro fertilisation) in connection with in vivo treatment.
4. Use according to claim 2 for treatment of females which are suffering from ovarian infertility (PCO syndrom).
5. Use for treatment of ovarian failure associated with aging.
6. Use of ER β -selective antagonists according to claim 1 for ovarian contraception.
7. Use according to claim 6 for inhibiting folliculogenesis.
8. Use according to claim 6 for inhibiting ovulation.
9. Use according to claim 6 to inhibit preimplantational development of ovulated oocytes.
10. Use of ER β -selective ligands according to claim 1 for production of medicaments for regulating fertility without additional use of follicular sex steroids.
11. Use of ER β -selective ligands according to claim 10 for production of medicaments for regulating fertility without additional use of a progestin.
12. 17-Chloro-D-homosteroids of general formula I



(I)

in which

R₁ means a hydrogen atom or a C₁₋₆ alkanoyl radical or benzoyl radical,

R₂ means a C₁₋₆ alkyl group,

R₃ means a hydrogen atom, a C₁₋₆ alkyl radical, C₁₋₆ alkanoyl radical or benzoyl radical, and

R₄ means a hydrogen atom, a C₁₋₆ alkyl radical, a C_nF_{2n+1} group, in which n = 1, 2 or 3, or a C=CR₅ group, in which R₅ is a hydrogen atom, a C₁₋₆ alkyl radical or an unsubstituted or substituted phenyl radical.

13. Compounds of general formula I according to claim 12, namely

17-Chloro-17 α -ethinyl-17 α ,18 α -dihomo-estra-1,3,5(10),16-tetraene-3,17 $\alpha\beta$ -diol

17-chloro-17 α -propinyl-17 α ,18 α -dihomo-estra-1,3,5(10),16-tetraene-3,17 $\alpha\beta$ -diol

17-chloro-13 β -ethyl-17 α -methyl-17 α ,18 α -dihomo-estra-1,3,5(10),16-tetraene-3,17 $\alpha\beta$ -diol

17 $\alpha\beta$ -acetoxy-17-chloro-17 α -methyl-17 α ,18 α -dihomo-estra-1,3,5(10),16-tetraene-3-ol

17-chloro-17 α -(trifluoromethyl)-17 α ,18 α -dihomo-estra-1,3,5(10),16-tetraene-3,17 $\alpha\beta$ -diol

17-chloro-17 α -(pentafluoroethyl)-17 α ,18 α -dihomo-estra-1,3,5(10),16-tetraene-3,17 $\alpha\beta$ -diol

17-chloro-17 α -methyl-17 $\alpha\beta$ -(methoxy)-17 α ,18 α -dihomo-estra-1,3,5(10),16-tetraene-3-ol

17-chloro-17 α -homoestra-1,3,5(10),16-tetraene-3,17 $\alpha\beta$ -diol

17-chloro-17 α -(trifluoromethyl)-17 α -homoestra-1,3,5(10),16-tetraene-3,17 $\alpha\beta$ -diol

17-chloro-17 α -(pentafluoroethyl)-17 α -homoestra-1,3,5(10),16-tetraene-3,17 $\alpha\beta$ -diol

17-chloro-17 α -methyl-17 α -homoestra-1,3,5(10),16-tetraene-3,17 $\alpha\beta$ -diol

17-chloro-17 α -ethyl-17 α -homoestra-1,3,5(10),16-tetraene-3,17 $\alpha\beta$ -diol

17-chloro-17 α -ethinyl-17 α -homoestra-1,3,5(10),16-tetraene-3,17 $\alpha\beta$ -diol

17-chloro-17 α -propinyl-17 α -homoestra-1,3,5(10),16-tetraene-3,17 $\alpha\beta$ -diol

17-chloro-17 α -(trifluoromethyl)-17 α -homoestra-1,3,5(10),16-tetraene-3,17 $\alpha\beta$ -diol-diacetate

17 $\alpha\beta$ -acetoxy-17-chloro-17 α -(trifluoromethyl)-17 α -homoestra-1,3,5(10),16-tetraene-3-ol

17-chloro-17 $\alpha\beta$ -methoxy-17 α -(trifluoromethyl)-17 α -homoestra-1,3,5(10),16-tetraene-3-ol

17-chloro-(17 α)-21-(4'-methylsulfonylphenyl)-17 α ,18 α -dihomogona-1,3,5(10),16-tetraen-20-yne-3,17 $\alpha\beta$ -diol

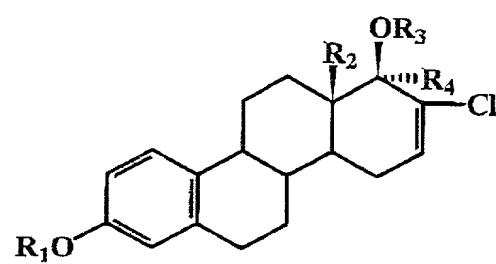
17-chloro-(17 α)-21-(phenyl)-13 β -methyl-17 α -homogona-1,3,5(10),16-tetraen-20-yne-3,17 $\alpha\beta$ -diol

17-chloro-(17 α)-21-(4'-cyanophenyl)-13 β -methyl-17 α -homogona-1,3,5(10),16-tetraen-20-yne-3,17 $\alpha\beta$ -diol

17-chloro-(17 α)-21-(4'-acetylaminophenyl)-13 β -methyl-17 α -homogona-1,3,5(10),16-tetraen-20-yne-3,17 $\alpha\beta$ -diol

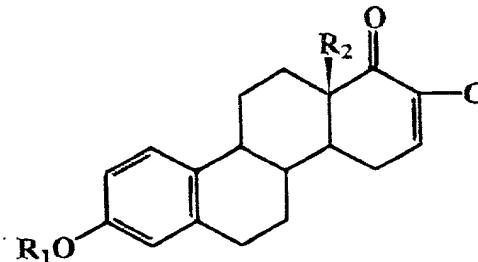
17-chloro-(17 α)-21-(4'-hydroxyphenyl)-13 β -methyl-17 α -homogona-1,3,5(10),16-tetraen-20-yne-3,17 $\alpha\beta$ -diol.

14. Process for the production of 17-chloro-D-homosteroids of the general formula I according to claim 12



(I)

characterized in that a 17-chloro-1,3,5(10),16-tetraene-17-one of general formula II



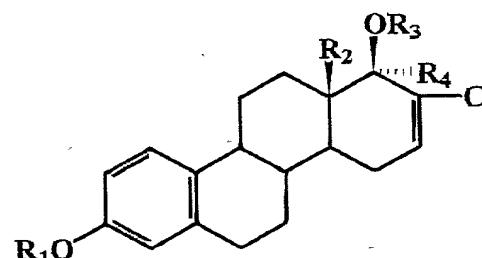
(II)

in which

R₁ means a hydrogen atom, a C₁₋₆ alkyl radical, a C₁₋₆ alkanoyl radical or benzoyl radical,

R₂ means a C₁₋₆ alkyl group,

is converted with a magnesium-organic reagent of general formula BrMg alkyl, BrMg alkenyl or BrMg alkynyl or with acetylene or an alkyl- or aryl-substituted acetylene in the presence of bases such as *tert*-BuOK or with a lithium-organic compound such as LiC₂F₅ or with a silicon-organic compound such as trifluoromethyl trimethylsilane into a 17a α -substituted compound of general formula III,



(III)

in which R₁ is a hydrogen atom, a C₁₋₆ alkyl radical or C₁₋₆ alkanoyl radical or benzoyl radical, and R₂ is a C₁₋₆ alkyl group, R₃ is a hydrogen atom, a metal atom or a silyl group, and R₄ is a hydrogen atom, a C₁₋₆ alkyl group, a C_nF_{2n+1} group, in which n = 1, 2 or 3, or is a C≡CR₅ group, in which R₅ is a hydrogen atom, a C₁₋₆ alkyl radical or an unsubstituted or substituted phenyl radical,

whereby in the case of R₅ = hydrogen, the free 17a α -ethynyl compound of general formula III is further modified by a SONAGASHIRA reaction to form compounds

with $R_5 = C_6H_4R_6$, in which R_6 stands for a free or substituted hydroxyl group, amino group, thiol group, sulfamate group, sulfonyl group or a C_{1-6} alkyl group or C_{6-12} aryl group.

15. Process according to claim 14, wherein compounds of formula III, in which R_1 is a C_{1-6} alkyl radical, are converted by ether cleavage into the free hydroxyl group.
16. Process according to claim 14, wherein compounds of formula III, in which R_1 is an acyl radical, are converted by ether cleavage into the free hydroxyl group.
17. Process according to claim 14, wherein compounds of formula III, in which R_3 is a hydrogen atom, are converted in a way that is known in the art into ethers or esters.
18. Use of the compounds of general formula I according to claim 12 for the production of pharmaceutical agents for contraception in women.
19. Use of the compounds of general formula I according to claim 12 for the production of pharmaceutical agents for contraception in men.
20. Use of the compounds of general formula I according to claim 12 for the production of pharmaceutical agents for treating benign or malignant proliferative diseases of the ovary.
21. Use according to claim 19 for treating ovarian cancer.
22. Use according to claim 19 for treating granulosa cell tumors.
23. Pharmaceutical compositions that contain at least one compound according to claim 12 or 13, as well as a pharmaceutically compatible vehicle.
24. Pharmaceutical compositions according to claim 12, which in addition to at least one compound of general formula I according to claim 1 contain at least one compound that is selected from the group of GnRH antagonists, progesterone receptor antagonists, mesoprogesterins, gestagens or tissue-selective gestagens.